

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
6 May 2005 (06.05.2005)

PCT

(10) International Publication Number  
**WO 2005/039569 A1**

(51) International Patent Classification<sup>7</sup>: **A61K 31/4196**,  
C07D 249/12, 401/04, A61P 13/10

(21) International Application Number:  
PCT/EP2004/011101

(22) International Filing Date: 5 October 2004 (05.10.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
03023701.0 18 October 2003 (18.10.2003) EP

(71) Applicant (for all designated States except US): **BAYER  
HEALTHCARE AG** [DE/DE]; 51368 Leverkusen (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BAUSER, Mar-  
cus** [DE/DE]; Claudiusweg 3, 42115 Wuppertal (DE). **KRÜGER, Joachim** [DE/DE]; Golzheimer Platz 4,  
40474 Düsseldorf (DE). **MEIER, Heinrich** [DE/DE];  
Claudiusweg 3, 42115 Wuppertal (DE). **VÖHRINGER,  
Verena** [DE/DE]; Am Hochsitz 17, 42113 Wuppertal  
(DE). **BEYREUTHER, Bettina** [DE/DE]; Weiherstr.  
6, 40219 Düsseldorf (DE). **MOGI, Muneto** [JP/JP];  
5-10-57-102, Daianji, Nara-shi, Nara 630-8133 (JP).  
**MARUMO, Makiko** [JP/JP]; 4-9-307, Minami-machi,  
Nara-shi, Nara 631-0824 (JP). **TSUNO, Naoki** [JP/JP];  
135-1-102 Aono-cho, Nara-shi, Nara 631-0841 (JP).  
**SHIMIZU, Haruka** [JP/JP]; B-202, 88 Aono-cho,  
Nara-shi, Nara 631-0841 (JP). **FUJISHIMA, Hiroshi**  
[JP/JP]; 3-23-25, Sakyo, Nara-shi, Nara 631-0801 (JP).  
**YUASA, Hiroaki** [JP/JP]; 4-2-4-D101, Kunimidai,  
Kizo-cho, Soraku-gun, Kyoto 619-0216 (JP). **HAYASHI,**

**Mayumi** [JP/JP]; 1-5-14-313, Horai, Nara-shi, Nara  
631-0845 (JP). **UMEDA, Masaomi** [JP/JP]; 2-840-156,  
Sahodai, Nara-shi, Nara 630-8105 (JP). **IWATA, Atsuko**  
[JP/JP]; 7-14-704, Soegawa-cho, Sanjyo, Nara-shi, Nara  
630-8127 (JP).

(74) Common Representative: **BAYER HEALTHCARE  
AG**; Law and Patents, Patents and Licensing, 51368  
Leverkusen (DE).

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

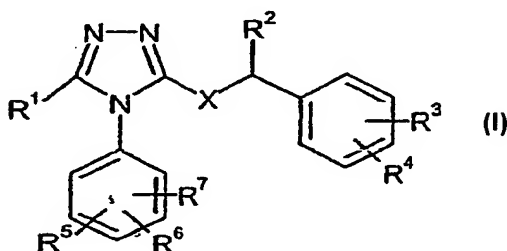
(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: 5-SUBSTITUTED 2-(PHENYLMETHYL) THIO-4-PHENYL-4H-1,2,4-TRIAZOLE DERIVATIVES AND RELATED  
COMPOUNDS AS GABA-AGONISTS FOR THE TREATMENT OF URINARY INCONTINENCE AND RELATED DISEASES



(57) Abstract: This invention relates to phenyltriazole derivatives of  
the formula (I) and salts thereof which is useful as an active ingredi-  
ent of pharmaceutical preparations : (I) wherein R<sup>1</sup> represents alkyl  
optionally substituted or 3-8 membered saturated or unsaturated ring  
optionally substituted, R<sup>2</sup> represents -COR<sup>21</sup>, -(CH<sub>2</sub>)<sub>n</sub>-R<sup>21</sup> or tert-butyl,  
X represents CR<sup>10</sup>R<sup>11</sup>, NR<sup>12</sup>, S, O, SO<sub>2</sub>, or SO wherein R<sup>10</sup>, R<sup>11</sup> and R<sup>12</sup>  
independently represent hydrogen or methyl. The other substituents are  
as defined in the claims. The phenyltriazole derivatives of the present  
invention have an excellent activity as GABA<sub>B</sub> agonist and are useful  
for the prophylaxis and treatment of diseases associated with GABA<sub>B</sub>  
activity, in particular for the treatment of overactive bladder, urinary

incontinence such as urge urinary incontinence, benign prostatic hyperplasia (BPH), chronic pain, neuropathic pain, postoperative  
pain, rheumatoid arthritic pain, neuralgia, neuropathies, algasia, or nerve injury.